The results are shown in Tables 1 and 2 below:

TABLE 1

	50% Antiviral Activity (μg/ml)	
Assay	Formazan	Inhibition of syncytium formation
Cells	MT-4	C8166
Virus (HIV-1)	HIV-1 RF	HIV-1 RF
(+)-enantiomer	>1	0.04
(−)-enantiomer	0.14	0.0018
Intermediate 1	0.065	0.013
AZT		0.0038

TABLE 2

50% Inhibition HIV p24 Synthesis (μg/ml)	
Cells	C8166
Virus	RF
(+)-enantiomer	0.1
(−)-enantiomer	0.0022
Intermediate 1	0.011
AZT	0.017

(ii) Cytotoxicity

The cytotoxicities of the compounds of Example 1 and the racemic compound (Intermediate 1) were determined in two CD4 cell lines: H9 and CEM.

Compounds for test were serially diluted from 100 μg/ml to 0.3 μg/ml (final concentrations) in 96 well microtitre plates. 3.6×10^4 cells were inoculated into each well of the plates including drug-free controls. After incubation at 37°

C. for 5 days, the viable cell count was determined by removing a sample of cell suspension and counting trypan blue excluding cells in a hemocytometer.

The results are shown in Table 3.

TABLE 3

	50% Cytotoxicity (μg/ml)	
Compound	CEM cells	H9 cells
(+)-enantiomer	217	334
(−)-enantiomer	148	296
Intermediate 1	173	232

I claim:

1. (−)-Cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan- 5-yl)-(1H)-pyrimidin-2-one or a pharmaceutically acceptable salt, ester or salt of an ester thereof.

2. The substantially pure (−)-enantiomer of cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one or a pharmaceutically acceptable salt, ester or salt of an ester thereof, wherein the (+) enantiomer is present in an amount of no more than 5% w/w.

3. The compound of claim 2 wherein the (+)-enantiomer is present in an amount of no more than about 2% w/w.

4. The compound of claim 2 wherein the (+)-enantiomer is present in an amount of less than about 1% w/w.

5. A pharmaceutical composition comprising a compound as in any one of claims 1, 2, 3, or 4 in combination with a pharmaceutically acceptable carrier.

6. A compound according to claim 1, wherein said compound is a pharmaceutically acceptable ester of (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one wherein the 2-hydroxymethyl group is replaced by R-CO- and R is H, straight or branched chain alkyl, alkoxyalkyl, aryloxyalkyl, alkylsulphonyl or aralkylsulphonyl, in which alkyl moietes have 1-16 carbon atoms and aryl is phenyl.

7. A compound according to claim 1, wherein said compound is a pharmaceutically acceptable ester of (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one wherein the 2-hydroxymethyl group is replaced by an amino acid ester, a monophosphate ester, a diphosphate ester, or a triphosphate ester.

8. A compound according to claim 1, wherein said compound is a pharmaceutically acceptable ester of (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one wherein the 2-hydroxymethyl group is replaced by R-CO- and R is H, methyl, ethyl, n-propyl, t-butyl, n-butyl, methoxymethyl, benzyl, phenoxymethyl, phenyl, or phenyl substituted by halogen, C_{1-4} alkyl or C_{1-4} alkoxy.

9. A compound according to claim 1, wherein said compound is a pharmaceutically acceptable ester of (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one and said ester is a C_{1-16} alkyl ester, an unsubstituted benzyl ester, or a benzyl ester substituted by at least one bromo, chloro, fluoro, iodo, C_{1-4} alkyl, C_{1-4} alkoxy, nitro or trifluoromethyl.

10. A compound according to claim 1, wherein said compound is (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one or a pharmaceutically acceptable salt thereof.

11. A compound according to claim 10, wherein said compound is a pharmaceutically acceptable salt of (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one and said salt is derived from hydrochloric acid, hydrobromic, sulphuric acid, nitric acid, perchloric acid, fumaric acid maleic acid phosphoric acid, glycolic acid, lactic acid salicylic acid, succinic acid, toluene-

p-sulphonic acid, tartaric acid, acetic acid, citric acid, methane sulphonic acid, formic acid, benzoic acid, malonic acid, naphthalene-2-sulphonic acid, benzene sulphonic acid, alkali metals, alkaline earth metals, ammonium, and NR_4+, in which R is C_{1-4} alkyl.

12. A compound according to claim 10, wherein said compound is (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one.

13. A compound according to claim 2, wherein said compound is a pharmaceutically acceptable ester of (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one wherein the 2-hydroxymethyl group is replaced by R-CO- and R is H, straight or branched chain alkyl, alkoxyalkyl, aryloxyalkyl, alkylsulphonyl or aralkylsulphonyl, in which alkyl moietes have 1-16 carbon atoms and aryl is phenyl.

14. A compound according to claim 2, wherein said compound is a pharmaceutically acceptable ester of (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one wherein the 2-hydroxymethyl group is replaced by an amino acid ester, a monophosphate ester, a diphosphate ester, or a triphosphate ester.

15. A compound according to claim 2, wherein said compound is a pharmaceutically acceptable ester of (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one wherein the 2-hydroxymethyl group is replaced by R-CO- and R is H, methyl, ethyl, n-propyl, t-butyl, n-butyl, methoxymethyl, benzyl, phenoxymethyl, phenyl, or phenyl substituted by halogen, C_{1-4} alkyl or C_{1-4} alkoxy.

16. A compound according to claim 2, wherein said compound is a pharmaceutically acceptable ester of (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one and said ester is a C_{1-16} alkyl ester, an unsubstituted benzyl ester, or a benzyl ester substituted by at least one bromo, chloro, fluoro, iodo, C_{1-6} alkyl, C_{1-6} alkoxy, nitro or trifluoromethyl.

17. A compound according to claim 2, wherein said compound is (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one or a pharmaceutically acceptable salt thereof.

18. A compound according to claim 17, wherein said compound is a pharmaceutically acceptable salt of (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one and said salt is derived from hydrochloric acid, hydrobromic, sulphuric acid, nitric acid, perchloric acid, fumaric acid maleic acid phosphoric acid, glycolic acid, lactic acid salicylic acid, succinic acid, toluene-p-sulphonic acid, tartaric acid, acetic acid, citric acid, methane sulphonic acid, formic acid, benzoic acid, malonic acid, naphthalene-2-sulphonic acid, benzene sulphonic acid, alkali metals, alkaline earth metals, ammonium, and NR_4+, in which R is C_{1-4} alkyl.

19. A compound according to claim 17, wherein said compound is (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one.

20. A compound according to claim 3, wherein said compound is a pharmaceutically acceptable ester of (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one wherein the 2-hydroxymethyl group is replaced by R-CO- and R is H, straight or branched chain alkyl, alkoxyalkyl, aryloxyalkyl, alkylsulphonyl or aralkylsulphonyl, in which alkyl moietes have 1-16 carbon atoms and aryl is phenyl.

21. A compound according to claim 3, wherein said compound is a pharmaceutically acceptable ester of (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one wherein the 2-hydroxymethyl group is replaced by an amino acid ester, a monophosphate ester, a diphosphate ester, or a triphosphate ester.

22. A compound according to claim 3, wherein said compound is a pharmaceutically acceptable ester of (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one wherein the 2-hydroxymethyl group is replaced by R-CO- and R is H, methyl, ethyl, n-propyl, t-butyl, n-butyl, methoxymethyl, benzyl, phenoxymethyl, phenyl, or phenyl substituted by halogen, C_{1-4} alkyl or C_{1-4} alkoxy.

23. A compound according to claim 3, wherein said compound is a pharmaceutically acceptable ester of (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one and said ester is a C_{1-16} alkyl ester, an unsubstituted benzyl ester, or a benzyl ester substituted by at least one bromo, chloro, fluoro, iodo, C_{1-6} alkyl, C_{1-6} alkoxy, nitro or trifluoromethyl.

24. A compound according to claim 3, wherein said compound is (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one or a pharmaceutically acceptable salt thereof.

25. A compound according to claim 24, wherein said compound is a pharmaceutically acceptable salt of (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one and said salt is derived from hydrochloric acid, hydrobromic, sulphuric acid, nitric acid, perchloric acid, fumaric acid maleic acid phosphoric acid, glycolic acid, lactic acid salicylic acid, succinic acid, toluene-p-sulphonic acid, tartaric acid, acetic acid, citric acid, methane sulphonic acid, formic acid, benzoic acid, malonic acid, naphthalene-2-sulphonic acid, benzene sulphonic acid, alkali metals, alkaline earth metals, ammonium, and NR_4+, in which R is C_{1-4} alkyl.

26. A compound according to claim 24, wherein said compound is (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one.

27. A compound according to claim 4, wherein said compound is a pharmaceutically acceptable ester of (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one wherein the 2-hydroxymethyl group is replaced by R-CO- and R is H, straight or branched chain alkyl, alkoxyalkyl, aryloxyalkyl, alkylsulphonyl or aralkylsulphonyl, in which alkyl moietes have 1-16 carbon atoms and aryl is phenyl.

28. A compound according to claim 4, wherein said compound is a pharmaceutically acceptable ester of (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one wherein the 2-hydroxymethyl group is replaced by an amino acid ester, a monophosphate ester, a diphosphate ester, or a triphosphate ester.

29. A compound according to claim 4, wherein said compound is a pharmaceutically acceptable ester of (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one wherein the 2-hydroxymethyl group is replaced by R-CO- and R is H, methyl, ethyl, n-propyl, t-butyl, n-butyl, methoxymethyl, benzyl, phenoxymethyl, phenyl, or phenyl substituted by halogen, C_{1-4} alkyl or C_{1-4} alkoxy.

30. A compound according to claim 4, wherein said compound is a pharmaceutically acceptable ester of (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one and said ester is a C_{1-16} alkyl ester, an unsubstituted benzyl ester, or a benzyl ester substituted by at least one bromo, chloro, fluoro, iodo, C_{1-6} alkyl, C_{1-6} alkoxy, nitro or trifluoromethyl.

31. A compound according to claim 4, wherein said compound is (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one or a pharmaceutically acceptable salt thereof.

32. A compound according to claim 31, wherein said

compound is a pharmaceutically acceptable salt of (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one and said salt is derived from hydrochloric acid, hydrobromic, sulphuric acid, nitric acid, perchloric acid, fumaric acid maleic acid phosphoric acid, glycolic acid, lactic acid salicylic acid, succinic acid, toluene-p-sulphonic acid, tartaric acid, acetic acid, citric acid, methane sulphonic acid, formic acid, benzoic acid, malonic acid, naphthalene-2-sulphonic acid, benzene sulphonic acid, alkali metals, alkaline earth metals, ammonium, and NR_4+, in which R is C_1 - alkyl.

33. A compound according to claim 32, wherein said compound is (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one.

34. A composition comprising

the (-)-enantiomer of cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one, a pharmaceutically acceptable salt thereof, a pharmaceutically acceptable ester thereof, or a pharmaceutically acceptable salt of an ester thereof, and

the corresponding (+) enantiomer,

wherein said (+)-enantiomer is present in an amount of no more than 5% w/w.

35. A composition according to claim 34, wherein said composition comprises a pharmaceutically acceptable ester of (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one wherein the 2-hydroxymethyl group is replaced by R-CO- and R is H, straight or branched chain alkyl, alkoxyalkyl, aryloxyalkyl, alkylsulphonyl or aralkylsulphonyl, in which alkyl moietes have 1-16 carbon atoms and aryl is phenyl.

36. A composition according to claim 34, wherein said composition comprises a pharmaceutically acceptable ester of (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one wherein the 2-hydroxymethyl group is replaced by an amino acid ester, a monophosphate ester, a diphosphate ester, or a triphosphate ester.

37. A composition according to claim 34, wherein said composition comprises a pharmaceutically acceptable ester of (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one wherein the 2-hydroxymethyl group is replaced by R-CO- and R is H, methyl, ethyl, n-propyl, t-butyl, n-butyl, methoxymethyl, benzyl, phenoxymethyl, phenyl, or phenyl substituted by halogen, C_{1-4} alkyl or C_{1-4} alkoxy.

38. A composition according to claim 34, wherein said composition comprises a pharmaceutically acceptable ester of (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one and said ester is a C_{1-16} alkyl ester, an unsubstituted benzyl ester, or a benzyl ester substituted by at least one bromo, chloro, fluoro, iodo, C_{1-6} alkyl, C_{1-6} alkoxy, nitro or trifluoromethyl.

39. A composition according to claim 34, wherein said composition comprises (-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one or a pharmaceutically acceptable salt thereof.

40. A composition according to claim 39, wherein said composition comprises a pharmaceutically acceptable salt of (-)-cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one and said salt is derived from hydrochloric acid, hydrobromic, sulphuric acid, nitric acid, perchloric acid, fumaric acid maleic acid phosphoric acid, glycolic acid, lactic acid salicylic acid, succinic acid, toluene-p-sulphonic acid, tartaric acid, acetic acid, citric acid, methane sulphonic acid, formic acid, benzoic acid, malonic acid, naphthalene-2-sulphonic acid, benzene sulphonic acid, alkali metals, alkaline earth metals, ammonium, and NR_4+, in which R is C_1 - alkyl.

41. A composition according to claim 39, wherein said composition comprises(-) -cis-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one.

42. A composition according to claim 34, wherein said (+)-enantiomer is present in an amount of no more than 2% w/w.

43. A composition according to claim 35, wherein said (+)-enantiomer is present in an amount of no more than 2% w/w.

44. A composition according to claim 36, wherein said (+)-enantiomer is present in an amount of no more than 2% w/w.

45. A composition according to claim 37, wherein said (+)-enantiomer is present in an amount of no more than 2% w/w.

46. A composition according to claim 38, wherein said (+)-enantiomer is present in an amount of no more than 2% w/w.

47. A composition according to claim 39, wherein said (+)-enantiomer is present in an amount of no more than 2% w/w.

48. A composition according to claim 40, wherein said (+)-enantiomer is present in an amount of no more than 2% w/w.

49. A composition according to claim 41, wherein said (+)-enantiomer is present in an amount of no more than 2% w/w.

50. A composition according to claim 34, wherein said (+)-enantiomer is present in an amount of no more than 1% w/w.

51. A composition according to claim 35, wherein said (+)-enantiomer is present in an amount of no more than 1% w/w.

52. A composition according to claim 36, wherein said (+)-enantiomer is present in an amount of no more than 1% w/w.

53. A composition according to claim 37, wherein said (+)-enantiomer is present in an amount of no more than 1% w/w.

54. A composition according to claim 38, wherein said (+)-enantiomer is present in an amount of no more than 1% w/w.

55. A composition according to claim 39, wherein said (+)-enantiomer is present in an amount of no more than 1% w/w.

56. A composition according to claim 40, wherein said (+)-enantiomer is present in an amount of no more than 1% w/w.

57. A composition according to claim 41, wherein said (+)-enantiomer is present in an amount of no more than 1% w/w.

58. A composition according to claim 5, wherein said composition contains 10-1500 mg of said compound.

59. A composition according to claim 58, wherein said composition contains 20-1000 mg of said compound.

60. A composition according to claim 59, wherein said composition contains 50-700 mg of said compound.

61. A pharmaceutical composition comprising a compound as in any one of claims 6-33 in combination with a pharmaceutically acceptable carrier.

62. A composition according to claim 61, wherein said composition contains 10-1500 mg of said compound.

63. A composition according to claim 62, wherein said composition contains 20-1000 mg of said compound.

64. A composition according to claim 63, wherein said composition contains 50-700 mg of said compound.

65. A composition according to claim 34, further comprising a pharmaceutically acceptable carrier.

66. A composition according to claim 65, wherein said

composition contains 10-1500 mg of said compound.

67. A composition according to claim 66, wherein said composition contains 20-1000 mg of said compound.

68. A composition according to claim 67, wherein said composition contains 50-700 mg of said compound.

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